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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  AUG 09  INSPEC enhanced with 1898-1968 archive
 NEWS  4  AUG 28  ADISCTI Reloaded and Enhanced
 NEWS  5  AUG 30  CA(SM)/CAplus(SM) Austrian patent law changes
 NEWS  6  SEP 11  CA/CAplus enhanced with more pre-1907 records
 NEWS  7  SEP 21  CA/CAplus fields enhanced with simultaneous left and right
                  truncation
 NEWS  8  SEP 25  CA(SM)/CAplus(SM) display of CA Lexicon enhanced
 NEWS  9  SEP 25  CAS REGISTRY(SM) no longer includes Concord 3D coordinates
 NEWS 10  SEP 25  CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
 NEWS 11  SEP 28  CEABA-VTB classification code fields reloaded with new
                  classification scheme
 NEWS 12  OCT 19  LOGOFF HOLD duration extended to 120 minutes
 NEWS 13  OCT 19  E-mail format enhanced
 NEWS 14  OCT 23  Option to turn off MARPAT highlighting enhancements available
 NEWS 15  OCT 23  CAS Registry Number crossover limit increased to 300,000 in
                  multiple databases
 NEWS 16  OCT 23  The Derwent World Patents Index suite of databases on STN
                  has been enhanced and reloaded
 NEWS 17  OCT 30  CHEMLIST enhanced with new search and display field
 NEWS 18  NOV 03  JAPIO enhanced with IPC 8 features and functionality
 NEWS 19  NOV 10  CA/CAplus F-Term thesaurus enhanced
 NEWS 20  NOV 10  STN Express with Discover! free maintenance release Version
                  8.01c now available
 NEWS 21  NOV 13  CA/CAplus pre-1967 chemical substance index entries enhanced
                  with preparation role
 NEWS 22  NOV 20  CAS Registry Number crossover limit increased to 300,000 in
                  additional databases
 NEWS 23  NOV 20  CA/CAplus to MARPAT accession number crossover limit increased
                  to 50,000
 NEWS 24  NOV 20  CA/CAplus patent kind codes will be updated
 NEWS 25  DEC 01  CAS REGISTRY updated with new ambiguity codes
 NEWS 26  DEC 11  CAS REGISTRY chemical nomenclature enhanced

 NEWS EXPRESS  NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8
 NEWS X25      X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:53:55 ON 12 DEC 2006

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:54:04 ON 12 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 DEC 2006 HIGHEST RN 915185-72-7
DICTIONARY FILE UPDATES: 11 DEC 2006 HIGHEST RN 915185-72-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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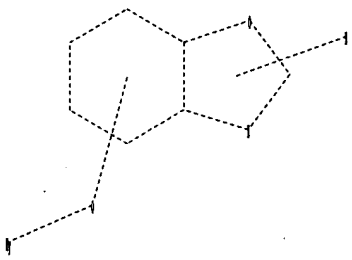
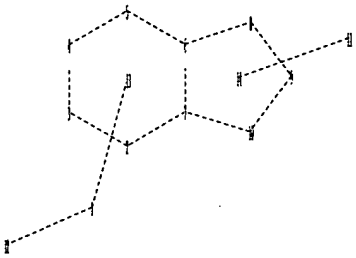
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10804915.str





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1 11 12
ring nodes :
2 3 4 5 6 7 8 9 10
chain bonds :
1-12
ring bonds :
2-3 2-7 3-4 4-5 5-6 6-7 6-8 7-10 8-9 9-10
exact/norm bonds :
1-12 2-3 2-7 3-4 4-5 5-6 6-7 6-8 7-10 8-9 9-10

Match level :
1:CLASS 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:CLASS 14:CLASS

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L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1                STR
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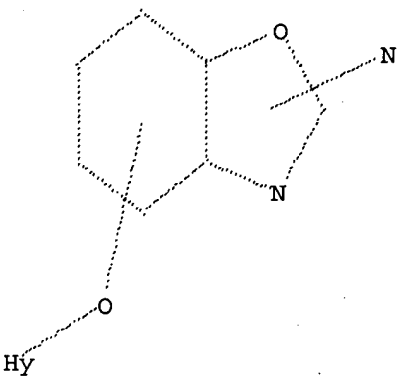


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Structure attributes must be viewed using STN Express query preparation.


=> s l1

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SAMPLE SCREEN SEARCH COMPLETED -     7907 TO ITERATE

 25.3% PROCESSED     2000 ITERATIONS                                 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       152810 TO   163470
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 11:58:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   157177 TO ITERATE

100.0% PROCESSED   157177 ITERATIONS                              94 ANSWERS
SEARCH TIME: 00.00.02

L3           94 SEA SSS FUL L1

=> s l3 and caplus/lc
      52854369 CAPLUS/LC
L4           94 L3 AND CAPLUS/LC

=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               174.34     174.55

FILE 'CAPLUS' ENTERED AT 11:58:32 ON 12 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 12 Dec 2006 VOL 145 ISS 25
FILE LAST UPDATED: 11 Dec 2006 (20061211/ED)


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=> s l4r
L5          22 L4R

=> s l4
L6          10 L4

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L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:735322 CAPLUS
DOCUMENT NUMBER: 143:211934
TITLE: Preparation of 4-heteroaryloxy-6-piperazinopyrimidines as vanilloid receptor ligands
INVENTOR(S): Wang, Hui-ling; Balan, Chenera; Doherty, Elizabeth M.; Falsey, James R.; Gore, Vijay Keshav; Katon, Jodie; Norman, Mark H.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 46 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005176726	A1	20050811	US 2005-56568	20050211
AU 2005212517	A1	20050825	AU 2005-212517	20050211
CA 2555685	AA	20050825	CA 2005-2555685	20050211
WO 2005077944	A1	20050825	WO 2005-US4378	20050211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1720868	A1	20061115	EP 2005-722962	20050211
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				

PRIORITY APPLN. INFO.: US 2004-543896P P 20040211
WO 2005-US4378 W 20050211

OTHER SOURCE(S): MARPAT 143:211934
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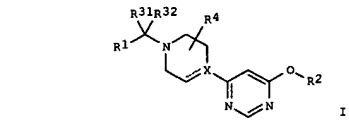

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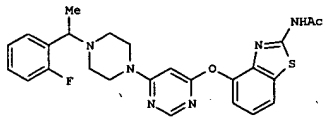


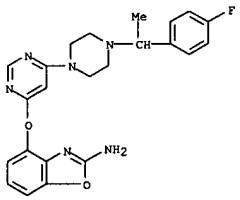
II

AB The title compds. I [X = N, C; R1 = (un)substituted (un)saturated 5-7 membered ring containing 1-4 atoms selected from N, O and S; R2 = (un)substituted partially saturated or unsatd. 8-11 membered bicyclic ring containing 1-4 atoms selected from N, O and S; R31, R32 = H, Me, Et; or R31 and R32 together may be combined with the carbon atom to which they attached to form cyclopropyl; R4 = H, Me], useful for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and non-vascular syndromes, tension headache, general inflammation, arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathic pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritus, vitiligo, general gastrointestinal disorders, etc., were prepared E.g., a multi-step synthesis of II, starting from 4,6-dichloropyrimidine and 2-aminobenzothiazol-4-ol, was given. Compds. I were tested to evaluate their properties at human VR1 (data given for representative compds. I). The pharmaceutical composition comprising the compound I is disclosed.

IT 862270-34-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 4-heteroaryloxy-6-piperazinopyrimidines as vanilloid receptor ligands)

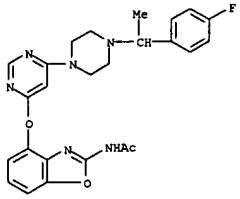
RN 862270-34-6 CAPLUS
CN 2-Benzoxazolamine, 4-[[6-[4-[1-(4-fluorophenyl)ethyl]-1-piperazinyl]-4-pyrimidinyl]oxy]- (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 862270-37-9P 862271-20-3P 862271-60-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-heteroaryloxy-6-piperazinopyrimidines as vanilloid receptor ligands)

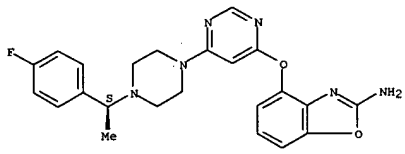
RN 862270-37-9 CAPLUS
CN Acetamide, N-[4-[[6-[4-[1-(4-fluorophenyl)ethyl]-1-piperazinyl]-4-pyrimidinyl]oxy]-2-benzoxazolyl]- (9CI) (CA INDEX NAME)



RN 862271-20-3 CAPLUS
CN 2-Benzoxazolamine, 4-[[6-[4-[(1S)-1-(4-fluorophenyl)ethyl]-1-piperazinyl]-4-pyrimidinyl]oxy]- (9CI) (CA INDEX NAME)

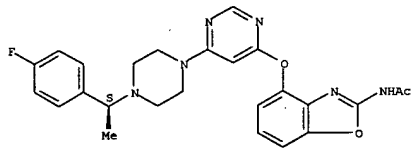
Absolute stereochemistry.

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862271-60-1 CAPLUS
CN Acetamide, N-[4-[[6-[4-[(1S)-1-(4-fluorophenyl)ethyl]-1-piperazinyl]-4-pyrimidinyl]oxy]-2-benzoxazolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:732647 CAPLUS
DOCUMENT NUMBER: 143:211900
TITLE: Preparation of heteroaryloxy substituted quinolines for treating or preventing HGF mediated diseases
INVENTOR(S): Harmange, Jean-Christophe; Booker, Shon; Bauer, David; Kim, Tae-Seong; Cheng, Yuan; Xu, Shimin; Xi, Ning; Kim, Joseph L.; Tasker, Andrew
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073224	A2	20050811	WO 2005-US2304	20050124
WO 2005073224	A3	20050929		
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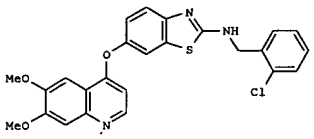
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005207946	A1	20050811	AU 2005-207946	20050124
CA 2553433	AA	20050811	CA 2005-2553433	20050124
US 2005245547	A1	20051103	US 2005-42398	20050124
EP 1711495	A2	20061018	EP 2005-711976	20050124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				

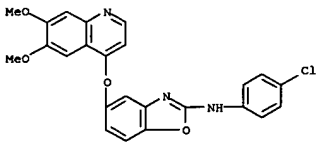
PRIORITY APPLN. INFO.: US 2004-538935P P 20040123
WO 2005-US2304 W 20050124

OTHER SOURCE(S): MARPAT 143:211900
GI



II

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
AB The title compds. I [R1XAYR; R = (un)substituted aryl, heterocyclyl, cycloalkyl, etc.; R1 = (un)substituted quinolinyl, quinazolinyl, pyrimidinyl, etc.; A = (un)substituted benzothiazole, indazole, indole, etc.; X = O, S, (un)substituted NH, CH2; Y = NHCO, NHCOCH2, NHCH2, etc.] which are effective for prophylaxis and treatment of diseases, such as HGF mediated diseases, were prepared E.g., a 2-step synthesis of II, starting from 4-chloro-6,7-dimethoxyquinoline and 2-aminobenzothiazol-6-ol, was given. The compds. I showed inhibition of c-Met kinase at less than 20 μM. The invention encompasses novel compds. I, analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutically compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like.
IT 769960-73-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroaryloxy substituted quinolines for treating or preventing HGF mediated diseases)
RN 769960-73-8 CAPLUS
CN 2-Benzoxazolamine,
N-(4-chlorophenyl)-5-[(6,7-dimethoxy-4-quinolinyl)oxy]- (9CI) (CA INDEX NAME)



L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:369277 CAPLUS
DOCUMENT NUMBER: 142:430271
TITLE: Preparation of substituted benzazoles as inhibitors of raf kinase
INVENTOR(S): Ramurthy, Savithri; Subramanian, Sharadha; Verhagen, Joelle; Poon, Daniel J.; Hansen, Teresa; Shafer, Cynthia; Mcbride, Christopher; Levine, Barry H.; Costales, Abran; Renhowe, Paul A.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037273	A1	20050428	WO 2004-US34179	20041015
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AU 2004281151	A1	20050428	AU 2004-281151	20041015
CA 2542653	AA	20050428	CA 2004-2542653	20041015
US 2005192287	A1	20050901	US 2004-967089	20041015
EP 1682126	A1	20060726	EP 2004-795357	20041015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: US 2003-511966P P 20031016
WO 2004-US34179 W 20041015

OTHER SOURCE(S): MARPAT 142:430271
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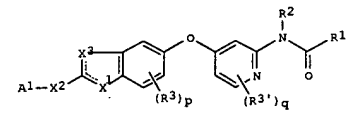
L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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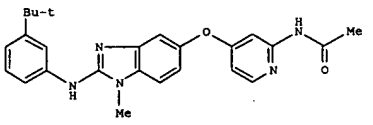
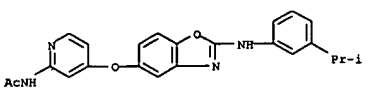


II

AB Title compds. I [X1, X3 = amino, O, S and at least one of X1 and X3 be N; X2 = NH, alkyl; A1 = alkyl, cycloalkyl, heterocycloalkyl, aryl, etc.; R1 = H, alkyl, alkoxyalkyl, etc.; R2 = H, alkyl; R3-3' = H, halo, OH, etc.; p, q = 0-3] are prepared For instance, N-[4-[[2-[[4-chloro-3-(3-fluoropyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridin-2-yl]acetamide (II) is prepared in 8 steps from 4-[(4-(methylamino)-3-nitrophenyl)oxy]pyridine-2-carboxylic acid and 3-tert-butylisothiocyanate.
Compds. of the invention have a raf kinase inhibitory activity at an IC50 < 10 μM and are useful in the treatment of alone or in combination with at least one addnl. agent for the treatment of a raf kinase mediated disorder, such as cancer.
IT 850712-68-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzazoles as inhibitors of raf kinase)
RN 850712-68-4 CAPLUS
CN Acetamide,
N-[4-[[2-[[3-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]-2-pyridinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

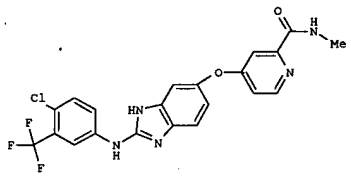
L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:857399 CAPLUS
DOCUMENT NUMBER: 141:343478
TITLE: Use of small molecule compounds for immunopotentiation
INVENTOR(S): Valiante, Nicholas
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087153	A2	20041014	WO 2004-US10331	20040329
WO 2004087153	A3	20050317		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2520124	AA	20041014	CA 2004-2520124	20040329
US 2005136065	A1	20050623	US 2004-814480	20040329
EP 1608369	A2	20051228	EP 2004-758593	20040329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.:
US 2003-458888P P 20030328
WO 2004-US10331 W 20040329

OTHER SOURCE(S): MARPAT 141:343478
GI



I

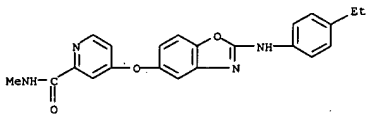
AB The invention provides immunostimulatory compns. comprising a small mol. immunopotentiator (SMIP) compound and methods of administration thereof.

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Also provided are methods of administering a SMIP compd. in an effective amt. to enhance the immune response of a subject to an antigen. Further provided are compns. and methods of administering SMIP compds. alone or in combination with another agent for the treatment of cancer, infectious diseases and/or allergies/asthma. Prepn. of selected compds., e.g. I, is included.

IT 611217-24-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(small mol. compds. for immunopotentiation)

RN 611217-24-4 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-ethylphenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

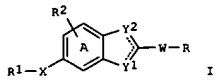
ACCESSION NUMBER: 2004:817883 CAPLUS
DOCUMENT NUMBER: 141:332190
TITLE: Preparation of fused azoles such as 2,5-disubstituted benzimidazoles, benzoxazoles and benzothiazoles as kinase inhibitors
INVENTOR(S): Dipietro, Lucian V.; Harmange, Jean-Christophe; Askew, Benny C., Jr.; Elbaum, Daniel; Germain, Julie; Habgood, Gregory J.; Kim, Joseph L.; Patel, Vinod F.; Potashman, Michele; Van der Plas, Simon
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 289 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085425	A1	20041007	WO 2004-US8809	20040322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004209892	A1	20041021	US 2004-804915	20040319
AU 2004223827	A1	20041007	AU 2004-223827	20040322
CA 2518909	AA	20041007	CA 2004-2518909	20040322
EP 1638954	A1	20060329	EP 2004-758050	20040322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2006520805	T2	20060914	JP 2006-507472	20040322

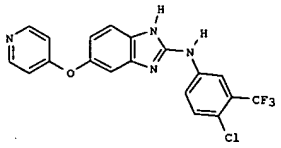
PRIORITY APPLN. INFO.:
US 2003-456691P P 20030321
US 2004-804915 A 20040319
WO 2004-US8809 A 20040322

OTHER SOURCE(S): MARPAT 141:332190
GI

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

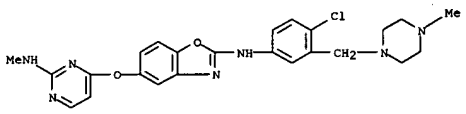


II

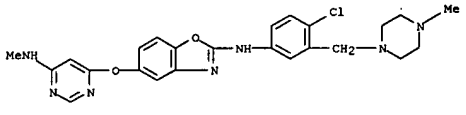
AB Title compds. I [W, X, Y1 and Y2 independently = O, S(O)n and NR3; ring A optionally contains a N atom at a non-fused, non-substituted ring position; n = 0-2; R = (un)substituted-aryl, -heterocyclyl, -fused heterocyclyl, etc.; R1 = (un)substituted-aryl, -arylalkyl, -heterocyclyl, etc.; R2 = H, halo, alkoxy, etc.; R3 = H or alkyl] are prepared and disclosed as having kinase inhibitory activity, such as VEGFR/KDR inhibitory activity. Thus, e.g., II was prepared by cyclocondensation of 4-(pyridin-4-yloxy)benzene-1,2-diamine with 1-chloro-4-isothiocyanato-2-trifluoromethylbenzene. In human umbilical vein endothelial cell proliferation assay, selected I inhibited VEGF-stimulated proliferation at a level below 100 nM. Accordingly, I would be useful in the prevention and treatment of angiogenesis related disorders, ophthalmol. conditions, proliferative diseases, inflammatory diseases, and other pathol. conditions as described in the specification.

IT 611217-04-0P 611217-10-8P 611217-19-7P
769960-33-0P 769960-34-1P 769960-35-2P
769960-36-3P 769960-37-4P 769960-38-5P
769960-39-6P 769960-40-9P 769960-41-0P
769960-42-1P 769960-45-4P 769960-46-5P
769960-47-6P 769960-48-7P 769960-49-8P
769960-50-1P 769960-54-5P 769960-55-6P
769960-56-7P 769960-57-8P 769960-58-9P
769960-59-0P 769960-60-3P 769960-61-4P
769960-62-5P 769960-63-6P 769960-64-7P
769960-65-8P 769960-66-9P 769960-67-0P
769960-68-1P 769960-69-2P 769960-70-5P
769960-71-6P 769960-72-7P 769960-73-8P
769960-74-9P 769960-75-0P 769960-76-1P
769960-77-2P 769960-78-3P 769960-79-4P
769960-80-7P 769960-81-8P 769960-83-0P
769960-86-3P 769960-88-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazole, benzoxazole and benzothiazole

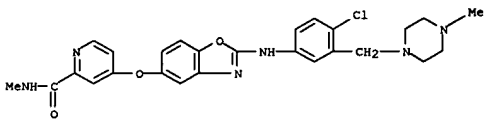
L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



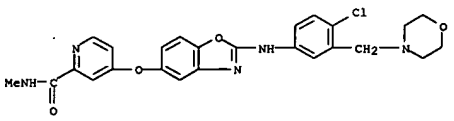
RN 769960-34-1 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-[(4-methyl-1-piperazinyl)methyl]phenyl]-5-[[6-(methylamino)-4-pyrimidinyl]oxy]- (9CI) (CA INDEX NAME)



RN 769960-35-2 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-[(4-methyl-1-piperazinyl)methyl]phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



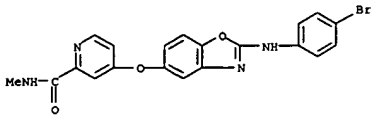
RN 769960-36-3 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-(4-morpholinylmethyl)phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



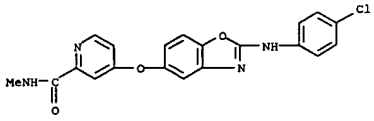
RN 769960-37-4 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-(1-pyrrolidinylmethyl)phenyl]ami

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
derivs. as kinase inhibitors)

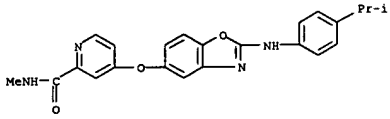
RN 611217-04-0 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 611217-10-8 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-chlorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)

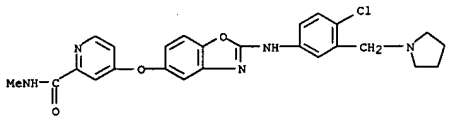


RN 611217-19-7 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[[4-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)

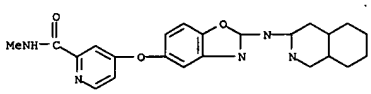


RN 769960-33-0 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-[(4-methyl-1-piperazinyl)methyl]phenyl]-5-[[2-(methylamino)-4-pyrimidinyl]oxy]- (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
no]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



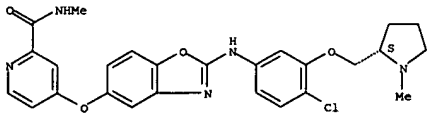
RN 769960-38-5 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-(3-isoquinolinylamino)-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



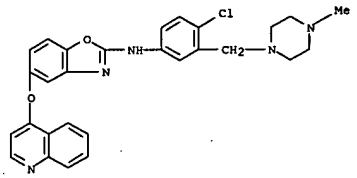
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 769960-39-6 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

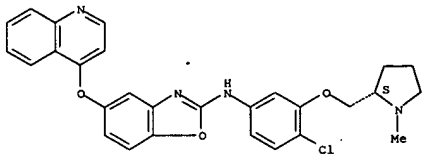


RN 769960-40-9 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-[(4-methyl-1-piperazinyl)methyl]phenyl]-5-(4-quinolinyloxy)- (9CI) (CA INDEX NAME)



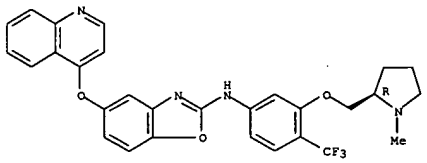
RN 769960-41-0 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]phenyl]-5-(4-quinolinyloxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

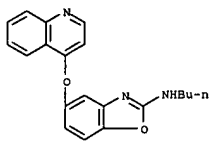


RN 769960-42-1 CAPLUS
CN 2-Benzoxazolamine, N-[3-[[(2R)-1-methyl-2-pyrrolidinyl]methoxy]-4-(trifluoromethyl)phenyl]-5-(4-quinolinyloxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

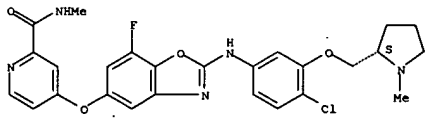


RN 769960-45-4 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-[(4-methyl-1-piperazinyl)methyl]phenyl]-5-[(6,7-dimethoxy-4-quinolinyl)oxy]- (9CI) (CA INDEX NAME)

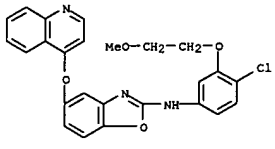


RN 769960-49-8 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]phenyl]amino]-7-fluoro-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

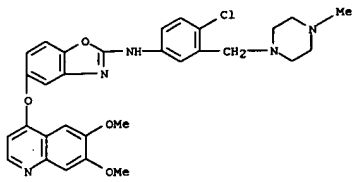


RN 769960-50-1 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-(2-methoxyethoxy)phenyl]-5-(4-quinolinyloxy)- (9CI) (CA INDEX NAME)

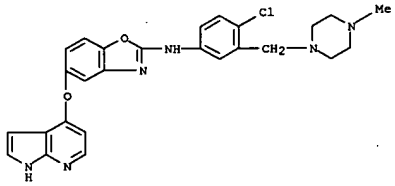


RN 769960-54-5 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]phenyl]-5-[[2-(methylamino)-4-pyridinyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

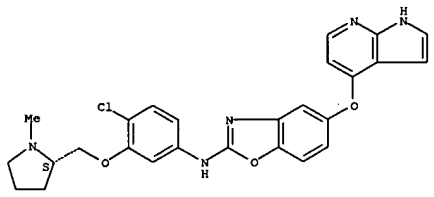


RN 769960-46-5 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-[(4-methyl-1-piperazinyl)methyl]phenyl]-5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)- (9CI) (CA INDEX NAME)

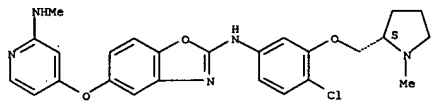


RN 769960-47-6 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]phenyl]-5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

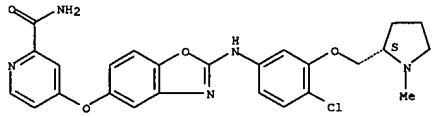


RN 769960-48-7 CAPLUS
CN 2-Benzoxazolamine, N-butyl-5-(4-quinolinyloxy)- (9CI) (CA INDEX NAME)

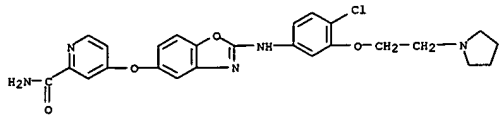


RN 769960-55-6 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)

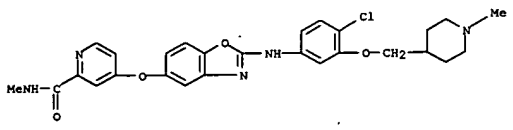
Absolute stereochemistry.



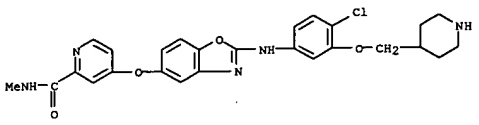
RN 769960-56-7 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-[2-(1-pyrrolidinyl)ethoxy]phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)



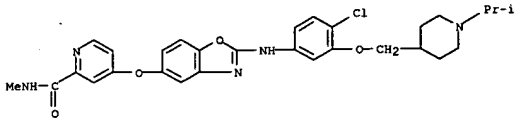
RN 769960-57-8 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-[(1-methyl-4-piperidinyl)methoxy]phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



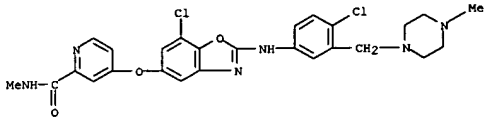
L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 769960-58-9 CAPLUS
CN 2-Pyridinecarboxamide,
4-[[2-[[4-chloro-3-(4-piperidinylmethoxy)phenyl]ami
no]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 769960-59-0 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-[[1-(1-methylethyl)-4-
piperidinyl]methoxy]phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI)
(CA
INDEX NAME)

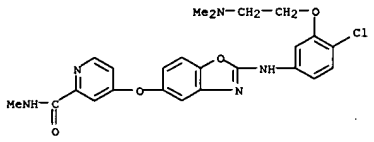


RN 769960-60-3 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[7-chloro-2-[[4-chloro-3-[(4-methyl-1-
piperazinyl)methyl]phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA
INDEX NAME)



RN 769960-61-4 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-[[4-[2-(dimethylamino)ethyl]-1-
piperazinyl]methyl]phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA
INDEX NAME)

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 2-Pyridinecarboxamide,
4-[[2-[[4-chloro-3-[2-(dimethylamino)ethoxy]phenyl]
amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 769960-65-8 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-[2-[3-(dimethylamino)-1-
pyrrolidinyl]ethoxy]phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI)
(CA
INDEX NAME)

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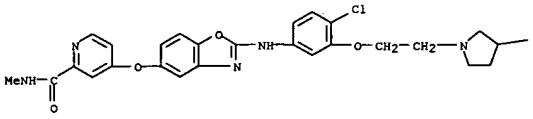

PAGE 1-B

—NMe2

RN 769960-66-9 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-[2-(4-methyl-1-
piperazinyl]ethoxy]phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA
INDEX NAME)

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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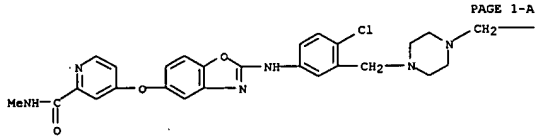
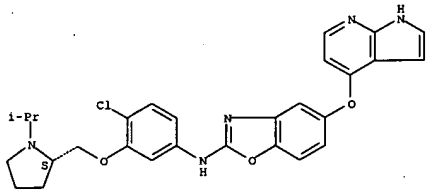


PAGE 1-B

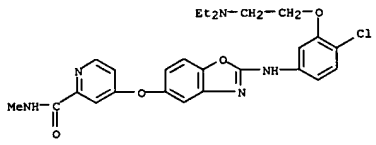
—CH2—NMe2

RN 769960-62-5 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-[[(2S)-1-(1-methylethyl)-2-
pyrrolidinyl]methoxy]phenyl]-5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



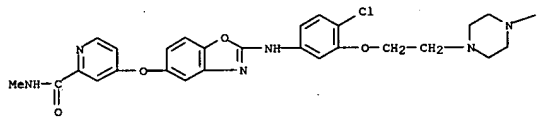
RN 769960-63-6 CAPLUS
CN 2-Pyridinecarboxamide,
4-[[2-[[4-chloro-3-[2-(diethylamino)ethoxy]phenyl]a
mino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 769960-64-7 CAPLUS

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



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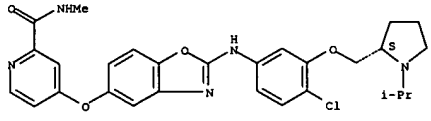
—Me

RN 769960-67-0 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-[[(2S)-1-(1-methylethyl)-2-
pyrrolidinyl]methoxy]phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 769960-68-1 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-chloro-3-[(tetrahydro-2-
furanyl)methoxy]phenyl]amino]-5-benzoxazolyl]oxy]-N-[4-(1-
pyrrolidinyl)butyl]- (9CI) (CA INDEX NAME)

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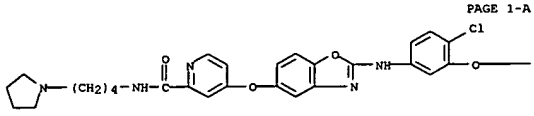

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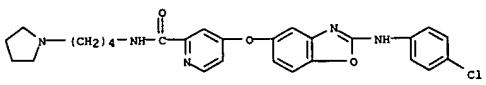
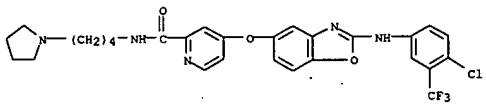
—CH2—

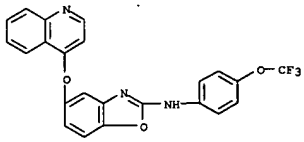
RN 769960-69-2 CAPLUS
CN 2-Pyridinecarboxamide,
4-[[2-[[4-chlorophenyl]amino]-5-benzoxazolyl]oxy]-N-
[4-(1-pyrrolidinyl)butyl]- (9CI) (CA INDEX NAME)



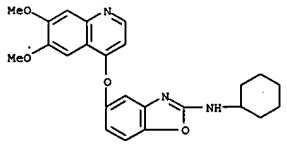
RN 769960-70-5 CAPLUS
CN 2-Pyridinecarboxamide,
4-[[2-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-5-
benzoxazolyl]oxy]-N-[4-(1-pyrrolidinyl)butyl]- (9CI) (CA INDEX NAME)



RN 769960-71-6 CAPLUS
CN 2-Benzoxazolamine, 5-(4-quinolinyloxy)-N-[4-(trifluoromethoxy)phenyl]-
(9CI) (CA INDEX NAME)

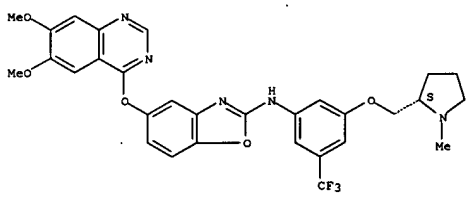


RN 769960-72-7 CAPLUS
CN 2-Benzoxazolamine, 7-chloro-N-[4-chloro-3-[(4-methyl-1-
piperazinyl)methyl]phenyl]-5-(4-quinolinyloxy)- (9CI) (CA INDEX NAME)

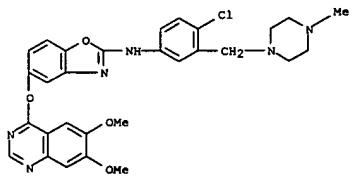


RN 769960-76-1 CAPLUS
CN 2-Benzoxazolamine, 5-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[3-[[(2S)-1-
methyl-2-pyrrolidinyl]methoxy]-5-(trifluoromethyl)phenyl]- (9CI) (CA
INDEX NAME)

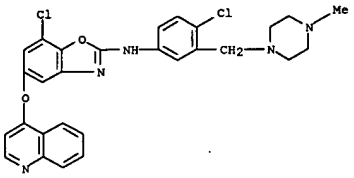
Absolute stereochemistry.



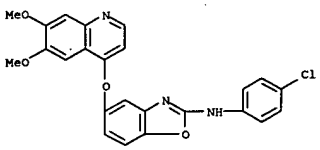
RN 769960-77-2 CAPLUS
CN 2-Benzoxazolamine,
N-[4-chloro-3-[(4-methyl-1-piperazinyl)methyl]phenyl]-5-
[(6,7-dimethoxy-4-quinazolinyl)oxy]- (9CI) (CA INDEX NAME)



RN 769960-78-3 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-[[(2S)-1-methyl-2-
pyrrolidinyl]methoxy]phenyl]-5-[(6,7-dimethoxy-4-quinazolinyl)oxy]- (9CI)
(CA INDEX NAME)

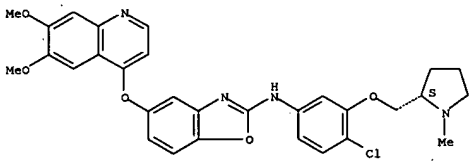


RN 769960-73-8 CAPLUS
CN 2-Benzoxazolamine,
N-(4-chlorophenyl)-5-[(6,7-dimethoxy-4-quinolinyl)oxy]-
(9CI) (CA INDEX NAME)



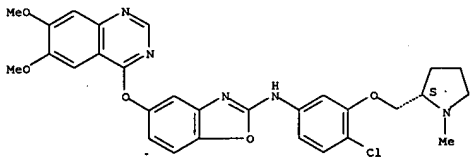
RN 769960-74-9 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-[[(2S)-1-methyl-2-
pyrrolidinyl]methoxy]phenyl]-5-[(6,7-dimethoxy-4-quinolinyl)oxy]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

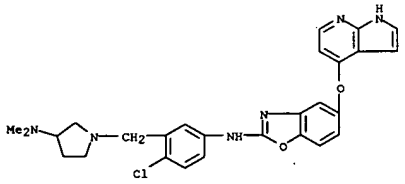


RN 769960-75-0 CAPLUS
CN 2-Benzoxazolamine, N-cyclohexyl-5-[(6,7-dimethoxy-4-quinolinyl)oxy]-
(9CI)
(CA INDEX NAME)

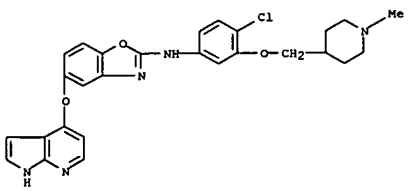
Absolute stereochemistry.



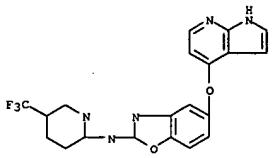
RN 769960-79-4 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-[[3-(dimethylamino)-1-
pyrrolidinyl]methyl]phenyl]-5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)- (9CI)
(CA INDEX NAME)



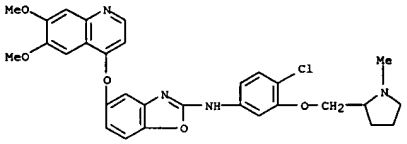
RN 769960-80-7 CAPLUS
CN 2-Benzoxazolamine,
N-[4-chloro-3-[(1-methyl-4-piperidinyl)methoxy]phenyl]-
5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)- (9CI) (CA INDEX NAME)



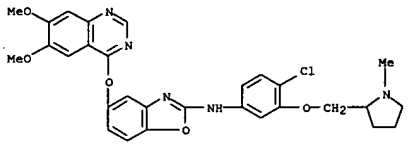
RN 769960-81-8 CAPLUS
CN 2-Benzoxazolamine, 5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)-N-[5-
(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 769960-83-0 CAPLUS
CN 2-Benzoxazolamine,
N-[4-chloro-3-[(1-methyl-2-pyrrolidinyl)methoxy]phenyl]-
5-[(6,7-dimethoxy-4-quinolinyl)oxy]- (9CI) (CA INDEX NAME)



RN 769960-86-3 CAPLUS
CN 2-Benzoxazolamine,
N-[4-chloro-3-[(1-methyl-2-pyrrolidinyl)methoxy]phenyl]-
5-[(6,7-dimethoxy-4-quinazolinyl)oxy]- (9CI) (CA INDEX NAME)



RN 769960-88-5 CAPLUS
CN 2-Benzoxazolamine, N-[4-chloro-3-[[1-(1-methylethyl)-2-
pyrrolidinyl]methoxy]phenyl]-5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)- (9CI)
(CA INDEX NAME)

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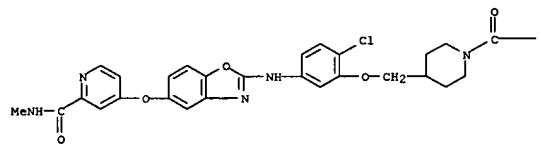

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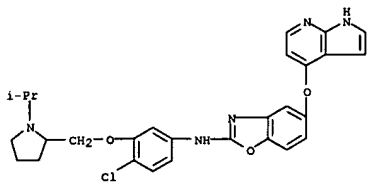
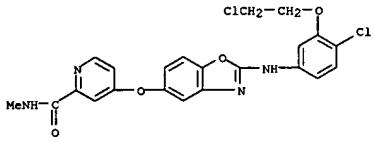
—OBu-t

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



IT 769961-08-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzimidazole, benzoxazole and benzothiazole
derivs. as kinase inhibitors)
RN 769961-08-2 CAPLUS
CN 2-Pyridinecarboxamide,
4-[[2-[[4-chloro-3-(2-chloroethoxy)phenyl]amino]-5-
benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



IT 769961-49-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazole, benzoxazole and benzothiazole derivs. as
kinase inhibitors)
RN 769961-49-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[2-chloro-5-[[5-[[2-
[(methylamino)carbonyl]-4-pyridinyl]oxy]-2-benzoxazolyl]amino]phenoxy]meth
yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

ACCESSION NUMBER: 2004:513393 CAPLUS
DOCUMENT NUMBER: 141:71544
TITLE: Preparation of substituted benzazoles as Raf kinase inhibitors
INVENTOR(S): Amiri, Payman; Fantl, Wendy; Levine, Barry Haskell; Poon, Daniel J.; Ramurthy, Savithri; Renhowe, Paul A.; Subramanian, Sharadha; Sung, Leonard
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 476 pp., Cont.-in-part of U.S. Pat. Appl. 2004 87,626.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004122237	A1	20040624	US 2003-675927	20030929
US 2004087626	A1	20040506	US 2003-405945	20030331
US 7071216	B2	20060704		
AU 2004277405	A1	20050414	AU 2004-277405	20040929
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JP 2006193533	A2	20060727	JP 2006-96143	20060330

PRIORITY APPLN. INFO.:
US 2002-369066P P 20020329
US 2003-405945 A2 20030331
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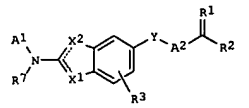
WO 2004-US32161 W 20040929

OTHER SOURCE(S): MARPAT 141:71544
GI



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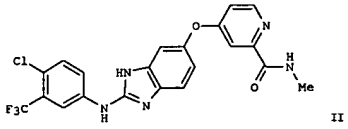

II

AB The title compds. I [wherein X1, X2 = N, NR4, O, S (with provisos); Y = O, S; A1 = (un)substituted alkyl, (hetero)cycloalkyl(alkyl), (hetero)aryl(alkyl), etc.; A2 = (un)substituted heteroaryl; R1 = O, H; R2 = NR5R6, OH; or CR1R2 = (un)substituted heterocycloalkyl, heteroaryl; R3 = H, halo, alkyl, alkoxy; R4 = H, OH, (di)alkylamino, alkyl; R5, R6 = H, (un)substituted (cyclo)alkyl, alkoxyalkyl, aminoalkyl, amidoalkyl, acyl, heterocyclyl, (hetero)aryl, etc.; or R5 and R6 are taken together to form (un)substituted heterocyclyl or heteroaryl; R7 = alkyl; and pharmaceutically acceptable salts, esters, or prodrugs] were prepared as Raf kinase inhibitors. Examples include synthetic methods and phys. data for 1400 compds., as well as descriptions of two Raf kinase bioassays. For instance, 4-amino-3-nitrophenol and (4-chloropyridin-2-yl)-N-methylcarboxamide were coupled using potassium bis(trimethylsilyl)amide and K2CO3 in DMF to give 4-[(4-amino-3-nitrophenyl)oxy]-N-methylpyridine-2-carboxamide. Pd-catalyzed hydrogenation, followed by cyclization with 4-chloro-3-(trifluoromethyl)benzeneisothiocyanate in the presence of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide•HCl in THF provided the benzimidazole II. One thousand ninety-four compds. inhibited Raf kinase activity with IC50 < 5 μM in a Raf/Mek filtration assay or a biotinylated Raf screen. Thus, I and their pharmaceutical compns., which may comprise at least one addnl. agent, are useful for the treatment of Raf kinase mediated disorders, such as cancer (no data).

IT 611217-04-0P 611217-05-1P 611217-06-2P
611217-07-3P 611217-09-5P 611217-10-8P
611217-11-9P 611217-12-0P 611217-13-1P
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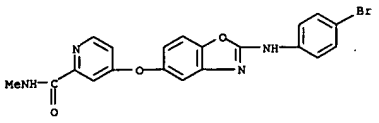
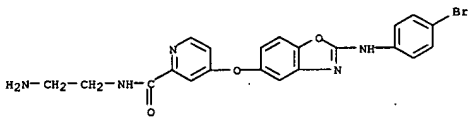
611217-36-8P 611217-37-9P 611217-39-1P
611217-41-5P 710353-54-1P, 4-[[2-[(3-Isopropylphenyl)amino]-1,3-benzoxazol-5-yl]oxy]-N-methylpyridine-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Raf kinase inhibitor; prepn. of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

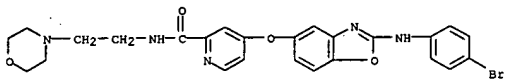
RN 611217-04-0 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 611217-05-1 CAPLUS
CN 2-Pyridinecarboxamide, N-(2-aminoethyl)-4-[[2-[(4-bromophenyl)amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)

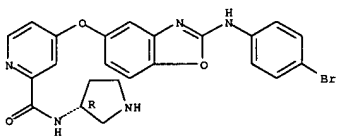


RN 611217-06-2 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)amino]-5-benzoxazolyl]oxy]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



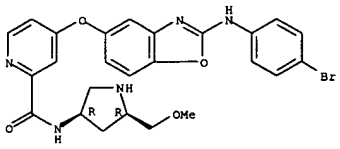
RN 611217-07-3 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)amino]-5-benzoxazolyl]oxy]-N-(3R)-3-pyrrolidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

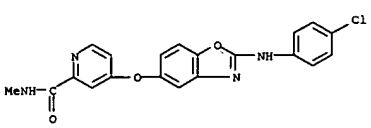


RN 611217-09-5 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)amino]-5-benzoxazolyl]oxy]-N-[(3R,5R)-5-(methoxymethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

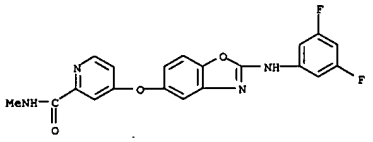
Absolute stereochemistry.



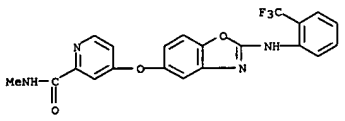
RN 611217-10-8 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-chlorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



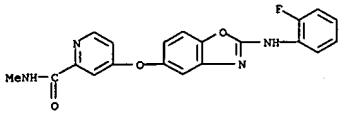
RN 611217-11-9 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(3,5-difluorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



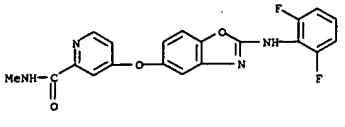
RN 611217-12-0 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[[2-(trifluoromethyl)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)



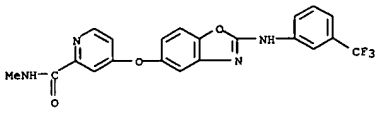
RN 611217-13-1 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(2-fluorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



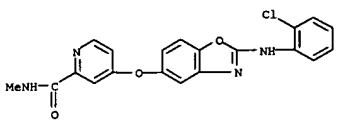
RN 611217-14-2 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(2,6-difluorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



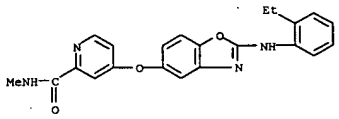
L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 611217-16-4 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[[3-(trifluoromethyl)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)



RN 611217-17-5 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(2-chlorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)

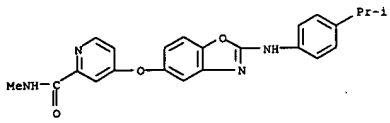


RN 611217-18-6 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(2-ethylphenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)

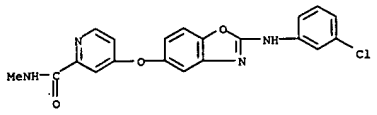


RN 611217-19-7 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[[4-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)

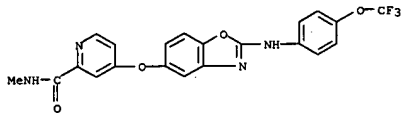
L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



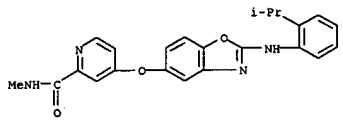
RN 611217-20-0 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(3-chlorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 611217-21-1 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[[4-(trifluoromethoxy)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)

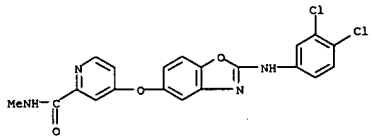


RN 611217-22-2 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[[2-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)

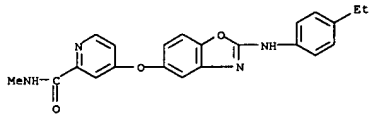


RN 611217-23-3 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(3,4-dichlorophenyl)amino]-5-

L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)

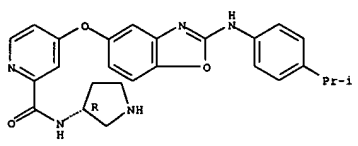


RN 611217-24-4 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-ethylphenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



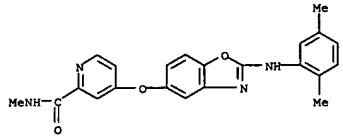
RN 611217-25-5 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]-N-(3R)-3-pyrrolidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

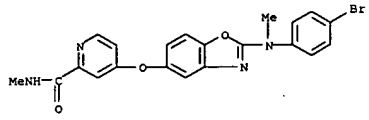


RN 611217-26-6 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(2,5-dimethylphenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)

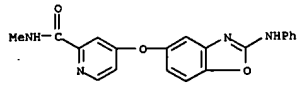
L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



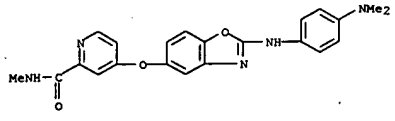
RN 611217-27-7 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)methylamino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



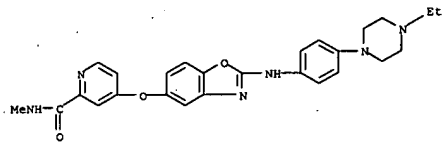
RN 611217-28-8 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-(phenylamino)-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)



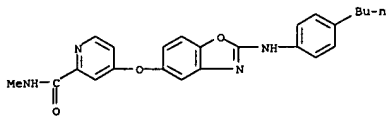
RN 611217-29-9 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-(dimethylamino)phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



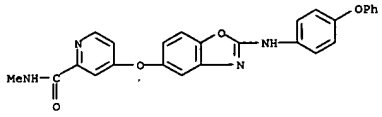
RN 611217-31-3 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-(4-ethyl-1-piperazinyl)phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



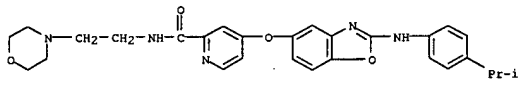
RN 611217-32-4 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-butylphenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



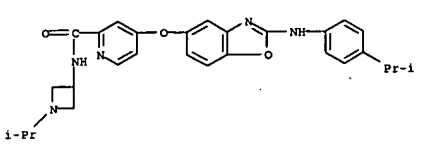
RN 611217-34-6 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[(4-phenoxyphenyl)amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)



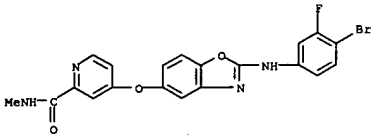
RN 611217-36-8 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



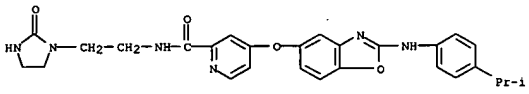
RN 611217-37-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[1-(1-methylethyl)-3-azetidinyl]-4-[[2-[[4-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)



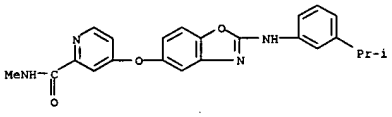
RN 611217-39-1 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromo-3-fluorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 611217-41-5 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]-N-[2-(2-oxo-1-imidazolidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 710353-54-1 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[[3-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)



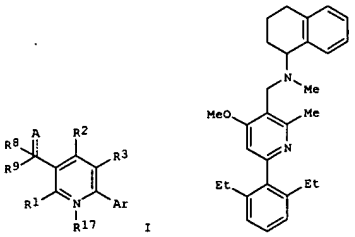
ACCESSION NUMBER: 2004:428911 CAPLUS
DOCUMENT NUMBER: 141:7028
TITLE: Preparation of 3-substituted-6-aryl pyridines ligands of C5a receptors
INVENTOR(S): Hutchison, Alan; Yuan, Jun; Lee, Kyungae; Maynard, George; Chenard, Bertrand L.; Liu, Nian; Guo, Qin; Guo, Zihong; Hrnciar, Peter
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 366 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043925	A2	20040527	WO 2003-US35694	20031107
WO 2004043925	A3	20040805		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2504941	AA	20040527	CA 2003-2504941	20031107
AU 2003291403	A1	20040603	AU 2003-291403	20031107
US 2004158067	A1	20040812	US 2003-704364	20031107
EP 1565452	A2	20050824	EP 2003-768799	20031107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-425281P P 20021108
WO 2003-US35694 W 20031107

OTHER SOURCE(S): MARPAT 141:7028
GI

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

II

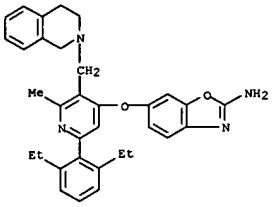
AB The title compds. [I; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; A = OR4, NR4R5, CR6R7, CHR6R7; R1 = H, halo, NH2, CN, etc.; R2 = halo, CN, XR; R3 = H, halo, OH, etc.; R4 = alkyl, alkenyl, benzoisothiazolyl, etc.; R5 = H, alkyl, alkenyl, etc.; R6 = halo, OH, CN, etc.; R7 = H, halo, OH, etc.; R8 = H, halo, OH, etc.; R9 = absent, H, halo, OH, etc.; X = a bond, O, CO, etc.; R = H, alkyl, alkenyl, etc.; R17 = absent, O] which bind to C5a receptors with high affinity and exhibit neutral antagonist or inverse agonist activity at C5a receptors, and therefore are useful in treating a variety of inflammatory, cardiovascular, and immune system disorders, were prepared and formulated. E.g., a multi-step synthesis of II is given. In addition, the present invention provides labeled 3-substituted-6-aryl pyridines I, which are useful as probes for the localization of C5a receptors.

IT 693277-26-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-substituted-6-aryl pyridines as ligands of C5a receptors)

RN 693277-26-8 CAPLUS

CN 2-Benzoxazolamine, 6-[[6-(2,6-diethylphenyl)-3-[(3,4-dihydro-2(1H)-isoquinolinyl)methyl]-2-methyl-4-pyridinyl]oxy]- (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:143118 CAPLUS
DOCUMENT NUMBER: 140:181462
TITLE: Preparation of (aryloxy)pyrimidine and (aryloxy)pyridazine as vanilloid receptor ligands
INVENTOR(S): Chkrabarti, Partha P.; Chen, Ning; Doherty, Elisabeth M.; Dominguez, Celia; Falsey, James Richard; Fotsh, Christopher H.; Hulme, Christopher; Katon, Jodie; Nixey, Thomas; Norman, Mark H.; Ognyanov, Vassil I.; Pettus, Liping H.; Rzasa, Robert Michael; Stec, Markian; Wang, Hui-ling; Zhu, Jiawang
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 340 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014871	A1	20040219	WO 2003-US25191	20030808
WO 2004014871	C1	20050407		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2493667	AA	20040219	CA 2003-2493667	20030808
AU 2003264047	A1	20040225	AU 2003-264047	20030808
US 2004082780	A1	20040429	US 2003-638009	20030808
US 7144888	B2	20061205		
EP 1546116	A1	20050629	EP 2003-785220	20030808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013255	A	20050712	BR 2003-13255	20030808
CN 1694873	A	20051109	CN 2003-823846	20030808
JP 2006504670	T2	20060209	JP 2004-528067	20030808
EP 1688408	A2	20060809	EP 2006-8551	20030808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
EP 1717220	A2	20061102	EP 2006-8555	20030808
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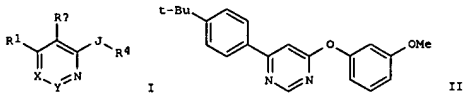
NO 2005001193	A	20050504	NO 2005-1193	20050307
US 2005227986	A1	20051013	US 2005-100077	20050405
US 2005272931	A1	20051208	US 2005-99978	20050405
US 2006030618	A1	20060209	US 2005-100272	20050405
US 2005272777	A1	20051208	US 2005-195159	20050801
US 2005277631	A1	20051215	US 2005-195134	20050801
PRIORITY APPLN. INFO.:			US 2002-402422P	P 20020808
			US 2001-339161P	P 20011210
			US 2001-344737P	P 20011221

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 2002-383331P	P	20020522
US 2002-316295	A3	20021210
EP 2003-785220	A3	20030808
US 2003-638009	A3	20030808
WO 2003-US25191	W	20030808

OTHER SOURCE(S): MARPAT 140:181462

GI



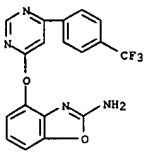
I

II

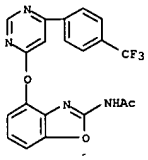
AB Title compds. I [wherein J = O or S; X = N or CR2; Y = N or CR3; wherein at least 1 of X and Y = N; R1 = (un)substituted Ph or heterocyclyl; R2 = independently R14, halo, OR4, NRaR4, or (un)substituted alkyl; R3 = independently H, halo, NH2, (di)alkylamino, or alkyl; wherein when X = CR2 and Y = CR3, then at least 1 of R2 and R3 ≠ H; R4 = independently (un)substituted optionally vicinally fused heterocyclyl; Ra = independently H or (un)substituted Ph, PhCH2, or alkyl; Rd = independently H or Me; and pharmaceutically acceptable salts thereof] were prepared as vanilloid receptor ligands (no data). For example, coupling of 4,6-dichloropyrimidine with 4-tert-butylphenylboronic acid in the presence of Pd(PPh3)4 in CH3CN gave 4-(4-tert-butylphenyl)-6-chloropyrimidine, which was etherified with 3-methoxyphenol using NaH to afford II. I and their pharmaceutical comps. are useful for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and non-vascular syndromes, tension headache, general inflammation, arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathic pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritus, vitiligo, general gastrointestinal disorders, gastric ulceration, duodenal ulcers, diarrhea, gastric lesions induced by necrotizing agents, hair growth, vasomotor or allergic rhinitis, bronchial disorders or bladder disorders (no data).

IT 659730-37-7P

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(vanilloid receptor ligand; prepn. of (aryloxy)pyrimidine and (aryloxy)pyridazine vanilloid receptor ligands as analgesics and antiinflammatory agents)
RN 659730-37-7 CAPLUS
CN 2-Benzoxazolamine, 4-[[6-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]oxy]- (9CI) (CA INDEX NAME)

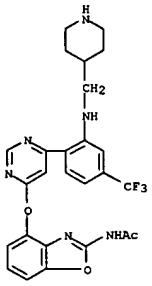


IT 659730-38-8P 659732-79-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(vanilloid receptor ligand; preparation of (aryloxy)pyrimidine and (aryloxy)pyridazine vanilloid receptor ligands as analgesics and antiinflammatory agents)
RN 659730-38-8 CAPLUS
CN Acetamide, N-[4-[[6-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]oxy]-2-benzoxazolyl]- (9CI) (CA INDEX NAME)



RN 659732-79-3 CAPLUS
CN Acetamide, N-[4-[[6-[2-[(4-piperidinylmethyl)amino]-4-(trifluoromethyl)phenyl]-4-pyrimidinyl]oxy]-2-benzoxazolyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

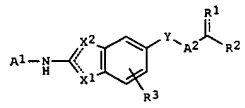
L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:796477 CAPLUS
DOCUMENT NUMBER: 139:307759
TITLE: Preparation of substituted benzazoles as Raf kinase inhibitors
INVENTOR(S): Renhowe, Paul A.; Ramurthy, Savithri; Amiri, Payman; Levine, Barry Haskell; Poon, Daniel J.; Subramanian, Sharadha; Sung, Leonard; Fantl, Wendy
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 259 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082272	A1	20031009	WO 2003-US10117	20030331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2480638	AA	20031009	CA 2003-2480638	20030331
AU 2003226211	A1	20031013	AU 2003-226211	20030331
EP 1499311	A1	20050126	EP 2003-745683	20030331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008854	A	20050222	BR 2003-8854	20030331
CN 1655779	A	20050817	CN 2003-812193	20030331
JP 2005529089	T2	20050929	JP 2003-579810	20030331
NO 2004004617	A	20041228	NO 2004-4617	20041026
JP 2006193533	A2	20060727	JP 2006-96143	20060330

PRIORITY APPLN. INFO.: US 2002-369066P P 20020329
JP 2003-579810 A3 20030331
WO 2003-US10117 W 20030331

OTHER SOURCE(S): MARPAT 139:307759
GI

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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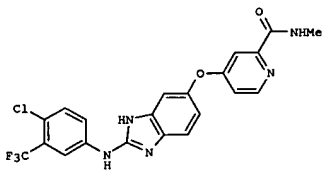
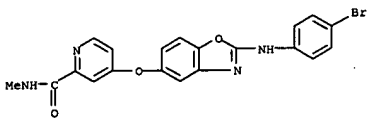


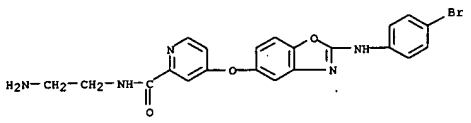
II

AB The title compds. [I; X1, X2 = N, NR4, O, S (with the provisos); Y = O, S;
A1 = (un)substituted alkyl, cycloalkyl, aryl, etc.; A2 = (un)substituted heteroaryl; R1 = O, H, and R2 = NR5R6, OH; or CR1R2 = (un)substituted heterocycloalkyl, heteroaryl; R3 = H, halo, alkyl, alkoxy; R4 = H, OH, (di)alkylamino, alkyl; R5, R6 = H, (un)substituted alkyl, alkoxyalkyl, etc.; or R5 and R6 are taken together to form (un)substituted heterocyclyl
or heteroaryl], useful for inhibition of Raf kinase activity in a human or
animal subject, were prepared E.g., a 3-step synthesis of the benzimidazole
II (starting from 4-amino-3-nitrophenol and (4-chloropyridin-2-yl)-N-methylcarboxamide), was given. The compds. of examples 1-1094 showed a Raf kinase inhibitory activity at an IC50 of less than 5 μM. A composition
comprising the compound I is claimed. The new compds. compns. may be used
either alone or in combination with at least one addnl. agent for the treatment of a Raf kinase mediated disorder, such as cancer.
IT 611217-04-0P 611217-05-1P 611217-06-2P
611217-07-3P 611217-09-5P 611217-10-8P
611217-11-9P 611217-12-0P 611217-13-1P
611217-14-2P 611217-16-4P 611217-17-5P
611217-18-6P 611217-19-7P 611217-20-0P
611217-21-1P 611217-22-2P 611217-23-3P
611217-24-4P 611217-25-5P 611217-26-6P
611217-27-7P 611217-28-8P 611217-29-9P
611217-31-3P 611217-32-4P 611217-34-6P
611217-36-8P 611217-37-9P 611217-39-1P
611217-41-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzazoles as Raf kinase inhibitors)

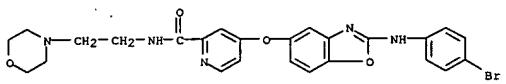
RN 611217-04-0 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 611217-05-1 CAPLUS
CN 2-Pyridinecarboxamide, N-(2-aminoethyl)-4-[[2-[(4-bromophenyl)amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)

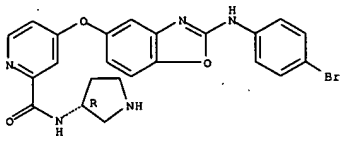


RN 611217-06-2 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)amino]-5-benzoxazolyl]oxy]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



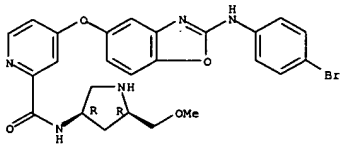
RN 611217-07-3 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)amino]-5-benzoxazolyl]oxy]-N-(3R)-3-pyrrolidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

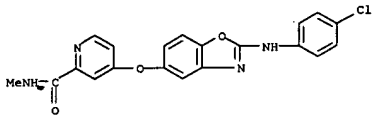


RN 611217-09-5 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)amino]-5-benzoxazolyl]oxy]-N-[(3R,5R)-5-(methoxymethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

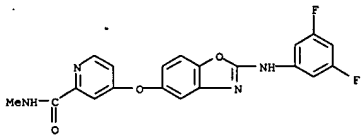
Absolute stereochemistry.



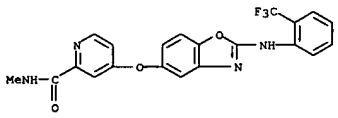
RN 611217-10-8 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-chlorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



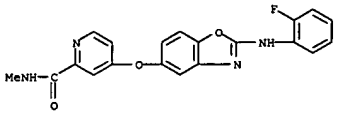
RN 611217-11-9 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(3,5-difluorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



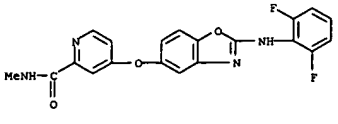
RN 611217-12-0 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[(2-(trifluoromethyl)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)



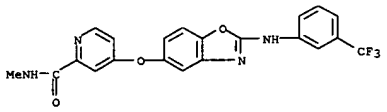
RN 611217-13-1 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(2-fluorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



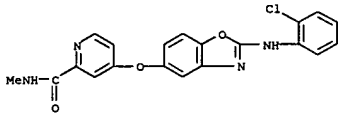
RN 611217-14-2 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(2,6-difluorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



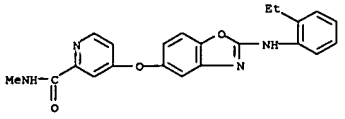
RN 611217-16-4 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[[3-(trifluoromethyl)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)



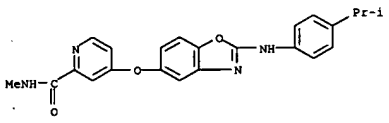
RN 611217-17-5 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(2-chlorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



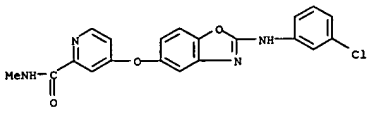
RN 611217-18-6 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(2-ethylphenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



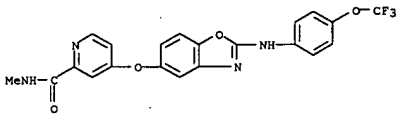
RN 611217-19-7 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[[4-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)



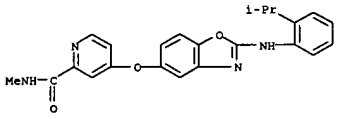
RN 611217-20-0 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(3-chlorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



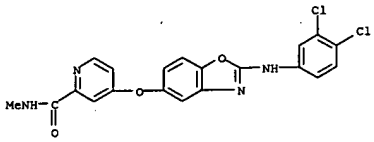
RN 611217-21-1 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[[4-(trifluoromethoxy)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)



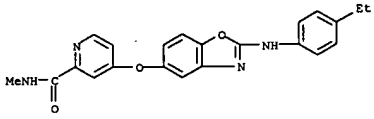
RN 611217-22-2 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[[2-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)



RN 611217-23-3 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(3,4-dichlorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)

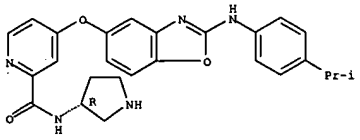


RN 611217-24-4 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-ethylphenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)

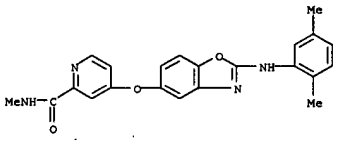


RN 611217-25-5 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]-N-(3R)-3-pyrrolidinyl- (9CI) (CA INDEX NAME)

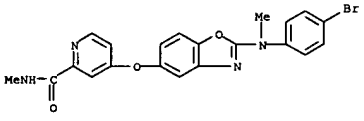
Absolute stereochemistry.



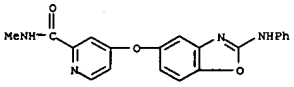
RN 611217-26-6 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(2,5-dimethylphenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



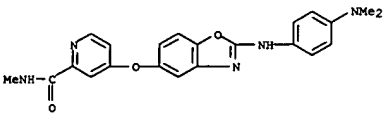
RN 611217-27-7 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)methylamino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



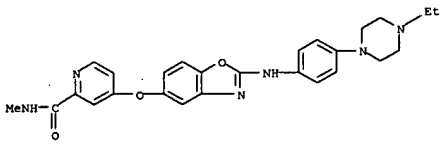
RN 611217-28-8 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-(phenylamino)-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)



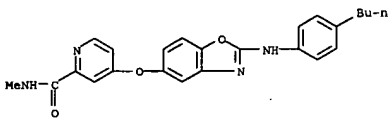
RN 611217-29-9 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-(dimethylamino)phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



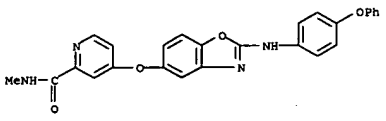
RN 611217-31-3 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-(4-ethyl-1-piperazinyl)phenyl]amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



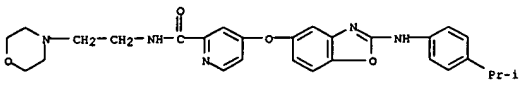
RN 611217-32-4 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-butylphenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 611217-34-6 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[(4-phenoxyphenyl)amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)

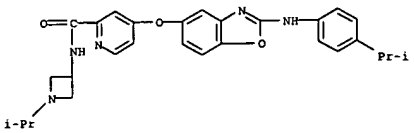


RN 611217-36-8 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

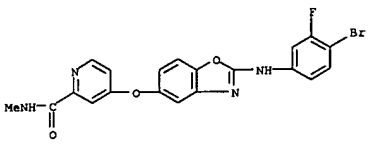


RN 611217-37-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[1-(1-methylethyl)-3-azetidinyl]-4-[[2-[[4-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]- (9CI) (CA INDEX NAME)

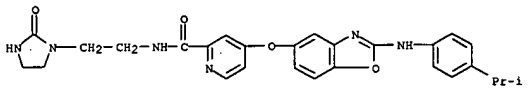
L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 611217-39-1 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromo-3-fluorophenyl)amino]-5-benzoxazolyl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 611217-41-5 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[[4-(1-methylethyl)phenyl]amino]-5-benzoxazolyl]oxy]-N-[2-(2-oxo-1-imidazolidinyl)ethyl]- (9CI) (CA INDEX NAME)

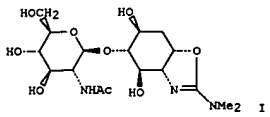


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

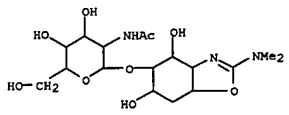
L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:409869 CAPLUS
DOCUMENT NUMBER: 121:9869
TITLE: Synthesis of pseudo-disaccharides related to allosamidin
AUTHOR(S): Corbett, David F.; Dean, David K.; Robinson, Stephen R.
CORPORATE SOURCE: SmithKline Beecham Pharm., Epsom/Surrey, KT18 5XQ, UK
SOURCE: Tetrahedron Letters (1994), 35(3), 459-62
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:9869
GI



I

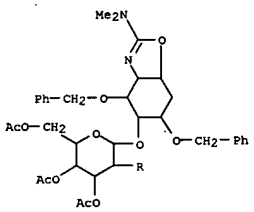
AB Pseudodisaccharides, e.g., I, related to the chitinase inhibitor allosamidin were prepared from D-glucosamine via Ferrier rearrangement.
I is a weak inhibitor of chitinase.
IT 155501-05-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and chitinase inhibition by)
RN 155501-05-6 CAPLUS
CN β-D-Glucopyranoside, 2-(dimethylamino)-3a,4,5,6,7,7a-hexahydro-4,6-dihydroxy-5-benzoxazolyl 2-(acetylamino)-2-deoxy-, [3aR-(3aα,4α,5β,6α,7aα)]- (9CI) (CA INDEX NAME)



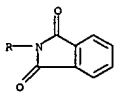
IT 155501-03-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection and acetylation of)
RN 155501-03-4 CAPLUS
CN β-D-Glucopyranoside, 2-(dimethylamino)-3a,4,5,6,7,7a-hexahydro-4,6-bis(phenylmethoxy)-5-benzoxazolyl 2-deoxy-2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-, 3,4,6-triacetate, [3aS-(3aα,4α,5β,6.alpha a.,7aα)]- (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

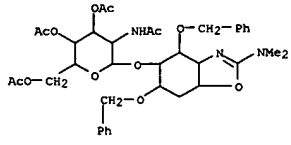
PAGE 1-A



PAGE 2-A



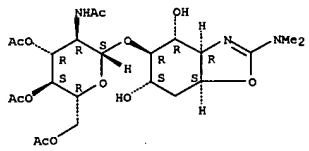
IT 155501-04-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)
RN 155501-04-5 CAPLUS
CN β-D-Glucopyranoside, 2-(dimethylamino)-3a,4,5,6,7,7a-hexahydro-4,6-bis(phenylmethoxy)-5-benzoxazolyl 2-(acetylamino)-2-deoxy-, 3,4,6-triacetate, [3aS-(3aα,4α,5β,6α,7aα)]- (9CI) (CA INDEX NAME)



IT 848820-26-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reaction of, in synthesis of pseudodisaccharides)
RN 848820-26-8 CAPLUS
CN β-D-Glucopyranoside, (3aR,4R,5R,6S,7aS)-2-(dimethylamino)-3a,4,5,6,7,7a-hexahydro-4,6-dihydroxy-5-benzoxazolyl 2-(acetylamino)-2-deoxy-, 3,4,6-triacetate (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	53.51	228.06

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-7.50	-7.50

STN INTERNATIONAL LOGOFF AT 11:59:01 ON 12 DEC 2006